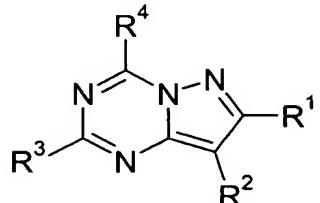


## CLAIMS

What is claimed is:

1. A compound of Formula (I)



(I)

5

wherein

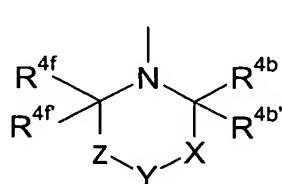
$R^1$  is an optionally substituted aryl or an optionally substituted heteroaryl;

10       $R^2$  is an optionally substituted aryl or an optionally substituted heteroaryl;

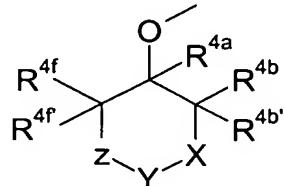
$R^3$  is hydrogen, ( $C_1$ - $C_4$ )alkyl, halo-substituted ( $C_1$ - $C_4$ )alkyl, or ( $C_1$ - $C_4$ )alkoxy;

$R^4$  is

- (i) a group having Formula (IA) or Formula (IB)



15

IAIB

where  $R^{4a}$  is hydrogen or ( $C_1$ - $C_3$ )alkyl;

20       $R^{4b}$  and  $R^{4b'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_1$ - $C_6$ )alkoxy, acyloxy, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-, ( $C_1$ - $C_4$ )alkyl)<sub>2</sub>N-C(O)-, ( $C_1$ - $C_6$ )alkylamino-, (( $C_1$ - $C_4$ )alkyl)<sub>2</sub>amino-, ( $C_3$ - $C_6$ )cycloalkylamino-, acylamino-, aryl( $C_1$ - $C_4$ )alkylamino-, heteroaryl( $C_1$ - $C_4$ )alkylamino-, aryl,

heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

- 5           or either R<sup>4b</sup> or R<sup>4b'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge; X is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each independently hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,
- 10           or either R<sup>4c</sup> or R<sup>4c'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge or an ethylene bridge;
- 15           Y is oxygen, sulfur, -C(O)-, or -C(R<sup>4d</sup>)(R<sup>4d'</sup>)-, where R<sup>4d</sup> and R<sup>4d'</sup> are each independently hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,
- 20           or R<sup>4d</sup> and R<sup>4d'</sup> taken together form a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-
- 25           6 membered lactam ring, where said heterocyclic ring, said lactone

ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

5 Y is  $-NR^{4d}-$ , where  $R^{4d}$  is a hydrogen or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ ,  $(C_3-C_6)cycloalkyl$ ,  $(C_1-C_3)alkylsulfonyl$ -,  $(C_1-C_3)alkylaminosulfonyl$ -,  $di(C_1-C_3)alkylaminosulfonyl$ -, acyl,  $(C_1-C_6)alkyl-O-C(O)-$ , aryl, and heteroaryl, where said moiety is optionally substituted with one or  
10 more substituents;

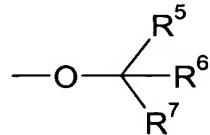
Z is a bond,  $-CH_2CH_2-$ , or  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  and  $R^{4e'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkoxy$ , acyloxy, acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $((C_1-C_4)alkyl)_2N-C(O)-$ ,  $(C_1-C_6)alkylamino$ -,  $di(C_1-C_4)alkylamino$ -,  $(C_3-C_6)cycloalkylamino$ -, acylamino-, aryl( $C_1-C_4)alkylamino$ -, heteroaryl( $C_1-C_4)alkylamino$ -, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said  
20 moiety is optionally substituted with one or more substituents, or either  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge; and

25  $R^{4f}$  and  $R^{4f'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkoxy$ , acyloxy, acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $((C_1-C_4)alkyl)_2N-C(O)-$ ,  $(C_1-C_6)alkylamino$ -,  $di(C_1-C_4)alkylamino$ -,  $(C_3-C_6)cycloalkylamino$ -, acylamino-, aryl( $C_1-C_4)alkylamino$ -, heteroaryl( $C_1-C_4)alkylamino$ -, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring,  
30

where said moiety is optionally substituted with one or more substituents,

or either R<sup>4f</sup> or R<sup>4f'</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup> forms a bond, a methylene bridge or an ethylene bridge;

5 (ii) a group having Formula (IC)



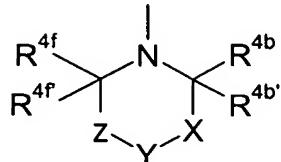
IC

where R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl,  
10 and R<sup>7</sup> is an optionally substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl-, or an optionally substituted 4-6 membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,

or R<sup>5</sup> and R<sup>6</sup> or R<sup>5</sup> and R<sup>7</sup> taken together form a 5-6 membered lactone, 4-6 membered lactam, or a 4-6 membered partially or fully saturated heterocycle containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, where said lactone, said lactam and said heterocycle are optionally substituted with one or more substituents; or

20 (iii) an amino group having attached thereto at least one chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>4</sub>)alkyl, a partially or fully saturated (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>1</sub>-C<sub>3</sub>)alkyl, aryl, heteroaryl, and a fully or partially saturated heterocycle, where said moiety is optionally substituted with one or more substituents and provided that R<sup>4</sup> is not n-butylamine or diethylamine when R<sup>1</sup> is phenyl, o-tolyl, or p-methoxyphenyl, and R<sup>2</sup> is phenyl or m-tolyl; a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

2. The compound of Claim 1 wherein R<sup>4</sup> is a group having  
Formula (IA)

IA

5 where,

R<sup>4b</sup> and R<sup>4b'</sup> are each independently hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3-6 membered heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

10 or R<sup>4b</sup> or R<sup>4b'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

15 or R<sup>4c</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge, and

20 R<sup>4c'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or

fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

- or  $R^{4c'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a
- 5      methylene bridge, or an ethylene bridge;
- $Y$  is oxygen, sulfur,  $-C(O)-$ , or  $-C(R^{4d})(R^{4d'})-$ , where  $R^{4d}$  is hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkoxy$ , acyloxy, acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $(C_1-C_4)alkyl)_2N-C(O)-$ ,  $(C_1-C_6)alkylamino-$ ,
- 10      $((C_1-C_4)alkyl)_2amino-$ ,  $(C_3-C_6)cycloalkylamino-$ , acylamino-, aryl( $C_1-C_4)alkylamino-$ , heteroaryl( $C_1-C_4)alkylamino-$ , aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, and
- 15      $R^{4d'}$  is hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ , acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $(C_1-C_4)alkyl)_2N-C(O)-$ , aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,
- 20     or  $R^{4d}$  and  $R^{4d'}$  taken together form a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and
- 25     said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or
- $Y$  is  $-NR^{4d''}-$ , where  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ ,  $(C_3-C_6)cycloalkyl$ ,  $(C_1-C_3)alkylsulfonyl-$ ,  $(C_1-C_3)alkylaminosulfonyl-$ ,  $di(C_1-C_3)alkylaminosulfonyl-$ ,
- 30     acyl,  $(C_1-C_6)alkyl-O-C(O)-$ , aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

- Z is a bond,  $-\text{CH}_2\text{CH}_2-$ , or  $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$ , where  $\text{R}^{4e}$  is hydrogen, cyano, hydroxy, amino,  $\text{H}_2\text{NC(O)}$ -, or a chemical moiety selected from the group consisting of  $(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-}\text{C}_6)\text{alkoxy}$ , acyloxy, acyl,  $(\text{C}_1\text{-}\text{C}_3)\text{alkyl-O-C(O)}$ -,  $(\text{C}_1\text{-}\text{C}_4)\text{alkyl-NH-C(O)}$ -,  $(\text{C}_1\text{-}\text{C}_4)\text{alkyl}_2\text{N-C(O)}$ -,  $(\text{C}_1\text{-}\text{C}_6)\text{alkylamino-}$ ,
- 5  $((\text{C}_1\text{-}\text{C}_4)\text{alkyl})_2\text{amino-}$ ,  $(\text{C}_3\text{-}\text{C}_6)\text{cycloalkylamino-}$ , acylamino-, aryl( $\text{C}_1\text{-}\text{C}_4$ )alkylamino-, heteroaryl( $\text{C}_1\text{-}\text{C}_4$ )alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,
- 10 or  $\text{R}^{4e}$  taken together with  $\text{R}^{4b}$ ,  $\text{R}^{4b'}$ ,  $\text{R}^{4c}$ , or  $\text{R}^{4c'}$  forms a bond, a methylene bridge, or an ethylene bridge, and
- $\text{R}^{4e'}$  is hydrogen,  $\text{H}_2\text{NC(O)}$ -, or a chemical moiety selected from the group consisting of  $(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ , acyl,  $(\text{C}_1\text{-}\text{C}_3)\text{alkyl-O-C(O)}$ -,  $(\text{C}_1\text{-}\text{C}_4)\text{alkyl-NH-C(O)}$ -,  $(\text{C}_1\text{-}\text{C}_4)\text{alkyl}_2\text{N-C(O)}$ -, aryl, heteroaryl, a 3-6 membered partially or
- 15 fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,
- or  $\text{R}^{4e'}$  taken together with  $\text{R}^{4b}$ ,  $\text{R}^{4b'}$ ,  $\text{R}^{4c}$ , or  $\text{R}^{4c'}$  forms a bond, a methylene bridge, or an ethylene bridge; and
- 20  $\text{R}^{4f}$  and  $\text{R}^{4f'}$  are each independently hydrogen,  $\text{H}_2\text{NC(O)}$ -, or a chemical moiety selected from the group consisting of  $(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ , acyl,  $(\text{C}_1\text{-}\text{C}_3)\text{alkyl-O-C(O)}$ -,  $(\text{C}_1\text{-}\text{C}_4)\text{alkyl-NH-C(O)}$ -,  $(\text{C}_1\text{-}\text{C}_4)\text{alkyl}_2\text{N-C(O)}$ -, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is
- 25 optionally substituted with one or more substituents,
- or  $\text{R}^{4f}$  or  $\text{R}^{4f'}$  taken together with  $\text{R}^{4b}$ ,  $\text{R}^{4b'}$ ,  $\text{R}^{4c}$ , or  $\text{R}^{4c'}$  forms a bond, a methylene bridge, or an ethylene bridge;
- a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

30

3. The compound of Claim of 2 wherein

R<sup>1</sup> and R<sup>2</sup> are each independently a substituted phenyl;

R<sup>4b</sup> is hydrogen, an optionally substituted (C<sub>1</sub>-C<sub>3</sub>)alkyl, or taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

5 R<sup>4b'</sup> is hydrogen, an optionally substituted (C<sub>1</sub>-C<sub>3</sub>)alkyl, or taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

R<sup>4f</sup> is hydrogen, an optionally substituted (C<sub>1</sub>-C<sub>3</sub>)alkyl, or taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup> forms a bond, a methylene bridge, or an 10 ethylene bridge; and

R<sup>4f'</sup> is hydrogen, an optionally substituted (C<sub>1</sub>-C<sub>3</sub>)alkyl, or taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of 15 said compound or said salt.

4. The compound of Claim 3 wherein

X is -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each independently hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, or ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, where said moiety is optionally 20 substituted with one or more substituents,

or either R<sup>4c</sup> or R<sup>4c'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge or an ethylene bridge;

Y is -NR<sup>4d''</sup>-, where R<sup>4d''</sup> is a hydrogen or a chemical moiety selected 25 from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is -C(R<sup>4e</sup>)(R<sup>4e'</sup>)-, where R<sup>4e</sup> and R<sup>4e'</sup> are each independently 30 hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-

$C_4)$ alkyl-NH-C(O)-, or  $((C_1-C_4)\text{alkyl})_2\text{N-C(O)-}$ , where said moiety is optionally substituted with one or more substituents,

or either  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

5. The compound of Claim 4 wherein  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of  $(C_1-C_3)\text{alkyl}$ ,  $(C_1-C_3)\text{alkylsulfonyl}$ ,  $(C_1-C_3)\text{alkylaminosulfonyl}$ ,  $\text{di}(C_1-C_3)\text{alkylaminosulfonyl}$ , acyl,  $(C_1-C_6)\text{alkyl-O-C(O)-}$ , and heteroaryl, where said moiety is optionally substituted with one or more substituents;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

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6. The compound of Claim 5 wherein  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of  $(C_1-C_3)\text{alkyl}$ ,  $(C_1-C_3)\text{alkylsulfonyl}$ ,  $(C_1-C_3)\text{alkylaminosulfonyl}$ ,  $\text{di}(C_1-C_3)\text{alkylaminosulfonyl}$ , acyl, and  $(C_1-C_6)\text{alkyl-O-C(O)-}$ , where said moiety is optionally substituted with 1-3 fluorines,

or  $R^{4d''}$  is a heteroaryl, where said heteroaryl is optionally substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro,  $(C_1-C_3)\text{alkoxy}$ ,  $(C_1-C_3)\text{alkyl}$ , and fluoro-substituted  $(C_1-C_3)\text{alkyl}$ ;

25

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

7. The compound of Claim 4, 5 or 6 wherein  $R^1$  and  $R^2$  are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo,  $(C_1-C_4)\text{alkoxy}$ ,  $(C_1-C_4)\text{alkyl}$ , halo-substituted  $(C_1-C_4)\text{alkyl}$ , and cyano;

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a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

8. The compound of Claim 7 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10

9. The compound of Claim 8 wherein R<sup>1</sup> is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R<sup>2</sup> is 4-chlorophenyl or 4-fluorophenyl;

15

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10. The compound of Claim 9 selected from the group consisting of

20 7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methyl-4-(4-methylpiperazin-1-yl)-pyrazolo[1,5-a][1,3,5]triazine;

7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methyl-4-(4-pyrimidin-2-ylpiperazin-1-yl)-pyrazolo[1,5-a][1,3,5]triazine;

25 7-(2-chlorophenyl)-8-(4-chlorophenyl)-4-[(1S,4S)-5-methanesulfonyl]-2,5-diazabicyclo[2.2.1]hept-2-yl]-2-methylpyrazolo[1,5-a][1,3,5]triazine;

7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methyl-4-[4-(propane-2-sulfonyl)-piperazin-1-yl]-pyrazolo[1,5-a][1,3,5]triazine;

7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methyl-4-(4-ethanesulfonyl)-piperazin-1-yl)-pyrazolo[1,5-a][1,3,5]triazine;

30

7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methyl-4-piperazin-1-yl-pyrazolo[1,5-a][1,3,5]triazine;

7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methyl-4-(4-methanesulfonyl)-piperazin-1-yl)-pyrazolo[1,5-a][1,3,5]triazine;  
(1S,4S)-5-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-2,5-diazabicyclo[2.2.1]heptane-2-carboxylic acid tert-butyl ester;

7-(2-chlorophenyl)-8-(4-chlorophenyl)-4-[(1S,4S)-2,5-diazabicyclo[2.2.1]hept-2-yl]-2-methylpyrazolo[1,5-a][1,3,5]triazine;  
1-{(1S,4S)-5-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-2,5-diazabicyclo[2.2.1]hept-2-yl}-ethanone;

1-{(1S,4S)-5-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-2,5-diazabicyclo[2.2.1]hept-2-yl}-2-methylpropan-1-one;

1-{(1S,4S)-5-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-2,5-diazabicyclo[2.2.1]hept-2-yl}-phenylmethanone;

7-(2-chlorophenyl)-8-(4-chlorophenyl)-4-[(1S,4S)-5-ethanesulfonyl-2,5-diazabicyclo[2.2.1]hept-2-yl]-2-methylpyrazolo[1,5-a][1,3,5]triazine;  
7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methyl-4-[(1S,4S)-5-(propane-2-sulfonyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]-pyrazolo[1,5-a][1,3,5]triazine; and  
(1S,4S)-5-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-2,5-diazabicyclo[2.2.1]heptane-2-sulfonic acid dimethylamide;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

11. The compound of Claim 3 wherein Y is  $-C(R^{4d})(R^{4d'})-$ , where R<sup>4d</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-,

(C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is  
5 optionally substituted with one or more substituents,

R<sup>4d'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,  
10

or R<sup>4d</sup> and R<sup>4d'</sup> taken together form a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said heterocyclic ring, said lactone ring and  
15 said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20

12. The compound of Claim 11 wherein  
R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4f</sup>, and R<sup>4f'</sup> are all hydrogen;  
R<sup>4d</sup> is amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino, acylamino, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-; and  
25

R<sup>4d'</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, H<sub>2</sub>NC(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, or ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, or aryl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

30

13. The compound of Claim 12 wherein

X is a bond or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each hydrogen; and

Z is a bond or -C(R<sup>4e</sup>)(R<sup>4e'</sup>)-, where R<sup>4e</sup> and R<sup>4e'</sup> are each hydrogen; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of  
5 said compound or said salt.

14. The compound of Claim 13 wherein R<sup>4d</sup> is amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino; and  
R<sup>4d'</sup> is H<sub>2</sub>NC(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, or ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-;  
10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of  
said compound or said salt.

15. The compound of Claim 11, 12, 13 or 14 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a phenyl substituted with 1 to 3 substituents  
15 independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of  
said compound or said salt.

20 16. The compound of Claim 15 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of  
25 said compound or said salt.

17. The compound of Claim 16 selected from the group consisting  
of  
30 1-[7-(2-chlorophenyl)-8-(2,4-dichlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;

1-[7,8-bis-(2-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;

1-[7-(2-chlorophenyl)-8-(4-cyanophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;

5 1-[7-(2-chlorophenyl)-8-(4-methylphenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;

1-[7-(2-chlorophenyl)-8-(4-ethylphenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-ethylaminoazetidine-3-carboxylic acid amide; and

10 1-[7-(2-chlorophenyl)-8-(4-methoxyphenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;  
a pharmaceutically acceptable salt thereof or a solvate or hydrate of  
said compound or said salt.

18. The compound of Claim 16 wherein R<sup>1</sup> is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R<sup>2</sup> is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of  
said compound or said salt.

20

19. The compound of Claim 18 selected from the group consisting  
of

1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-4-methylaminopiperidine-4-carboxylic acid amide;

25 1-[7-(2-chlorophenyl)-8-(4-fluorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-4-ethylaminopiperidine-4-carboxylic acid amide;

1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-4-ethylaminopiperidine-4-carboxylic acid amide;

1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-4-isopropylaminopiperidine-4-carboxylic acid amide;

- 1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;  
1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-isopropylaminoazetidine-3-carboxylic acid amide;  
5 3-amino-1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-azetidine-3-carboxylic acid amide;  
1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-methylaminoazetidine-3-carboxylic acid amide;  
10 1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-dimethylaminoazetidine-3-carboxylic acid amide;  
1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-pyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-isopropylaminoazetidine-3-carboxylic acid amide;  
1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-pyrazolo[1,5-a][1,3,5]triazin-4-yl]-4-ethylaminopiperidine-4-carboxylic acid amide;  
15 1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-pyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-ethylaminoazetidine-3-carboxylic acid amide; and  
1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-pyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-methylaminoazetidine-3-carboxylic acid amide;  
a pharmaceutically acceptable salt thereof or a solvate or hydrate of  
20 said compound or said salt.

20. The compound of Claim 19 selected from the group consisting of  
1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-4-ethylaminopiperidine-4-carboxylic acid amide;  
1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;  
1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-isopropylaminoazetidine-3-carboxylic acid amide;  
30 3-amino-1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-azetidine-3-carboxylic acid amide;

1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-methylaminoazetidine-3-carboxylic acid amide;  
1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-pyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-isopropylaminoazetidine-3-carboxylic acid amide;  
5 1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-pyrazolo[1,5-a][1,3,5]triazin-4-yl]-4-ethylaminopiperidine-4-carboxylic acid amide; and  
1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-pyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;  
a pharmaceutically acceptable salt thereof or a solvate or hydrate of  
10 said compound or said salt.

21. The compound of Claim 11 wherein  
 $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4f}$ , and  $R^{4f'}$  are all hydrogen;  
 $R^{4d}$  is hydrogen, hydroxy, amino, or a chemical moiety selected from  
15 the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_1$ - $C_6$ )alkoxy, acyloxy, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_6$ )alkylamino-, and di( $C_1$ - $C_4$ )alkylamino-, where said  
moiety is optionally substituted with one or more substituents; and  
20  $R^{4d'}$  is hydrogen, or a chemical moiety selected from the group  
consisting of ( $C_1$ - $C_6$ )alkyl, aryl and heteroaryl, where said moiety is optionally  
substituted with one or more substituents;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of  
said compound or said salt.

22. The compound of Claim 21 wherein  
25  $X$  is a bond or  $-C(R^{4c})(R^{4c'})-$ , where  $R^{4c}$  and  $R^{4c'}$  are each  
independently hydrogen or an optionally substituted ( $C_1$ - $C_6$ )alkyl, or either  
 $R^{4c}$  or  $R^{4c'}$  taken together with  $R^{4e}$  or  $R^{4e'}$  forms a bond, a methylene bridge  
or an ethylene bridge; and  
30  $Z$  is a bond or  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  and  $R^{4e'}$  are each  
independently hydrogen or an optionally substituted ( $C_1$ - $C_6$ )alkyl, or either

$R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4c}$  or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

5

23. The compound of Claim 22 wherein

$R^{4c}$  and  $R^{4c'}$  are each hydrogen or either  $R^{4c}$  or  $R^{4c'}$  taken together with  $R^{4e}$  or  $R^{4e'}$  forms a bond;

10  $R^{4d}$  is hydrogen, hydroxy, amino, or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkoxy, acyl, ( $C_1$ - $C_6$ )alkylamino-, and di( $C_1$ - $C_4$ )alkylamino-;

$R^{4d'}$  is hydrogen, or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl and aryl, where said moiety is optionally substituted with one or more substituents; and

15  $R^{4e}$  and  $R^{4e'}$  are hydrogen or either  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4c}$  or  $R^{4c'}$  forms a bond;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20 24. The compound of Claim 21, 22, or 23 wherein  $R^1$  and  $R^2$  are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, ( $C_1$ - $C_4$ )alkoxy, ( $C_1$ - $C_4$ )alkyl, halo-substituted ( $C_1$ - $C_4$ )alkyl, and cyano;

25 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25. The compound of Claim 24 wherein  $R^1$  and  $R^2$  are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, ( $C_1$ - $C_4$ )alkoxy, ( $C_1$ -

30  $C_4$ )alkyl, fluoro-substituted ( $C_1$ - $C_4$ )alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

26. The compound of Claim 25 wherein R<sup>1</sup> is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R<sup>2</sup> is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10

27. The compound of Claim 26 selected from the group consisting of

1-[1-{7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-4-phenylpiperidin-4-yl}-ethanone;

3-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-3-azabicyclo[3.1.0]hex-6-ylamine;

1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-4-(4-fluorophenyl)-piperidin-4-ol; and

4-benzyl-1-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-piperidin-4-ol;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25

28. The compound of Claim 11 wherein

R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4f</sup>, and R<sup>4f'</sup> are all hydrogen; and

R<sup>4d</sup> and R<sup>4d'</sup> taken together form a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring or said lactam ring optionally contains an additional heteroatom selected from oxygen, nitrogen or sulfur;

30

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

5        29. The compound of Claim 28 wherein  
X is a bond,  $-\text{CH}_2\text{CH}_2-$  or  $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$ , where  $\text{R}^{4c}$  and  $\text{R}^{4c'}$  are each independently hydrogen or an optionally substituted ( $\text{C}_1\text{-}\text{C}_6$ )alkyl, or either  $\text{R}^{4c}$  or  $\text{R}^{4c'}$  taken together with  $\text{R}^{4e}$  or  $\text{R}^{4e'}$  forms a bond, a methylene bridge or an ethylene bridge; and

10        Z is a bond,  $-\text{CH}_2\text{CH}_2-$  or  $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$ , where  $\text{R}^{4e}$  and  $\text{R}^{4e'}$  are each independently hydrogen or an optionally substituted ( $\text{C}_1\text{-}\text{C}_6$ )alkyl, or either  $\text{R}^{4e}$  or  $\text{R}^{4e'}$  taken together with  $\text{R}^{4c}$  or  $\text{R}^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge;

15        a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

30        30. The compound of Claim 28 wherein  $\text{R}^{4d}$  and  $\text{R}^{4d'}$  taken together form a 5-6 membered lactam ring, where said lactam ring is optionally substituted with one or more substituents and optionally contains  
20        an additional heteroatom selected from nitrogen or oxygen;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

31.        31. The compound of Claim 30 wherein  
25        X is a bond or  $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$ , where  $\text{R}^{4c}$  and  $\text{R}^{4c'}$  are each hydrogen; and  
Z is a bond or  $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$ , where  $\text{R}^{4e}$  and  $\text{R}^{4e'}$  are each hydrogen;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

32. The compound of Claim 28, 29, 30 or 31 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

33. The compound of Claim 32 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15 34. The compound of Claim 33 wherein R<sup>1</sup> is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R<sup>2</sup> is 4-chlorophenyl or 4-fluorophenyl;

20 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

35. The compound of Claim 34 selected from the group consisting of

25 2-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-5-methyl-2,5,7-triaspiro[3.4]octan-8-one;

2-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-2,5,7-triaspiro[3.4]octan-8-one;

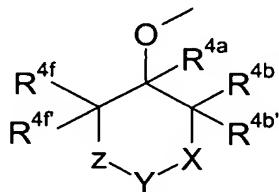
30 8-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-1-isopropyl-1,3,8-triaspiro[4.5]decan-4-one; and

2-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-6,6-dimethyl-2,5,7-triaspiro[3.4]octan-8-one;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

36. The compound of Claim 35 which is  
 5        8-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-1-isopropyl-1,3,8-triazaspiro[4.5]decan-4-one;  
       a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

- 10        37. The compound of Claim 1 wherein R<sup>4</sup> is a group of Formula  
 (IB)



**IB**

where R<sup>4a</sup> is as defined in Claim 1;

- R<sup>4b</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

- R<sup>4b'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R<sup>4b</sup> or R<sup>4b'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

- X is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R<sup>4c</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge, and

- R<sup>4c'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

- or R<sup>4c'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

- Y is oxygen, sulfur, -C(O)-, or -C(R<sup>4d</sup>)(R<sup>4d'</sup>)-, where R<sup>4d</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, and

$R^{4d'}$  is hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ , acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $(C_1-C_4)alkyl)_2N-C(O)-$ , aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated

- 5 carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or  $R^{4d}$  and  $R^{4d'}$  taken together form a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said heterocyclic ring, said lactone ring and  
10 said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

Y is  $-NR^{4d''}-$ , where  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ ,  $(C_3-C_6)cycloalkyl$ ,  $(C_1-C_3)alkylsulfonyl-$ ,  $(C_1-C_3)alkylaminosulfonyl-$ ,  $di(C_1-C_3)alkylaminosulfonyl-$ ,  
15 acyl,  $(C_1-C_6)alkyl-O-C(O)-$ , aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond,  $-CH_2CH_2-$ , or  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  is hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the  
20 group consisting of  $(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkoxy$ , acyloxy, acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $(C_1-C_4)alkyl)_2N-C(O)-$ ,  $(C_1-C_6)alkylamino-$ ,  
 $((C_1-C_4)alkyl)_2amino-$ ,  $(C_3-C_6)cycloalkylamino-$ , acylamino-, aryl( $C_1-C_4)alkylamino-$ , heteroaryl( $C_1-C_4)alkylamino-$ , aryl, heteroaryl, a 3-6 membered  
25 partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or  $R^{4e}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge, or an ethylene bridge, and

$R^{4e'}$  is hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from the  
30 group consisting of  $(C_1-C_6)alkyl$ , acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $(C_1-C_4)alkyl)_2N-C(O)-$ , aryl, heteroaryl, a 3-6 membered partially or

fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

- or  $R^{4e'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a
- 5      methylene bridge, or an ethylene bridge;
- $R^{4f}$  is hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkoxy$ , acyloxy, acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $(C_1-C_4)alkyl)_2N-C(O)-$ ,  $(C_1-C_6)alkylamino-$ ,  $((C_1-C_4)alkyl)_2amino-$ ,  $(C_3-C_6)cycloalkylamino-$ ,
- 10     acylamino-, aryl( $C_1-C_4$ )alkylamino-, heteroaryl( $C_1-C_4$ )alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents; and
- $R^{4f}$  is hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from the
- 15     group consisting of  $(C_1-C_6)alkyl$ , acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $(C_1-C_4)alkyl)_2N-C(O)-$ , aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,
- 20     . . . or  $R^{4f}$  or  $R^{4f}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge, or an ethylene bridge;
- a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.
- 25     38. The compound of Claim 37 wherein  
 $R^1$  and  $R^2$  are each independently a substituted phenyl;  
 $R^{4a}$ ,  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4f}$  and  $R^{4f'}$  are each hydrogen;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.
- 30     39. The compound of Claim 38 wherein

X is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>6</sub>)alkyl;

Y is -NR<sup>4d</sup>-, where R<sup>4d</sup> is hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-

5 C<sub>3</sub>)alkylsulfonyl-, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>6</sub>)alkyl;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

40. The compound of Claim 38 or 39 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20 41. The compound of Claim 40 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

25 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

42. The compound of Claim 41 wherein R<sup>1</sup> is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R<sup>2</sup> is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

43. The compound of Claim 42 selected from the group consisting  
5 of

4-(1-benzylpyrrolidin-3-yloxy)-7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazine;

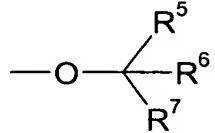
7-(2-chlorophenyl)-8-(4-chlorophenyl)-4-(1-cyclohexylazetidin-3-yloxy)-2-methylpyrazolo[1,5-a][1,3,5]triazine;

10 4-(1-tert-butylazetidin-3-yloxy)-7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazine; and

7-(2-chlorophenyl)-8-(4-chlorophenyl)-4-(1-isopropylazetidin-3-yloxy)-2-methylpyrazolo[1,5-a][1,3,5]triazine;

15 a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

44. The compound of Claim 1 wherein R<sup>4</sup> is a group having  
Formula (IC)



20 where R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and R<sup>7</sup> is an optionally substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl or an optionally substituted 4-6 membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, or

25 R<sup>5</sup> and R<sup>6</sup>, or R<sup>5</sup> and R<sup>7</sup> taken together form a 5-6 membered lactone, 4-6 membered lactam, or a 4-6 membered partially or fully saturated heterocycle containing 1 to 2 heteroatoms independently selected from

oxygen, sulfur or nitrogen, where said lactone, said lactam and said heterocycle are optionally substituted with one or more substituents;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

5

45. The compound of Claim 44 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a substituted phenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10

46. The compound of Claim 45 wherein R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and R<sup>7</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15

47. The compound of Claim 45 or 46 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

20

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25

48. The compound of Claim 47 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

30

49. The compound of Claim 48 wherein R<sup>1</sup> is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-

fluorophenyl, or 2,4-difluorophenyl; and R<sup>2</sup> is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

5

50. The compound of Claim 49 selected from the group consisting of

7-(2-chlorophenyl)-8-(4-chlorophenyl)-4-isopropoxy-2-methylpyrazolo[1,5-a][1,3,5]triazine;

10 7-(2-chlorophenyl)-8-(4-chlorophenyl)-4-ethoxy-2-methylpyrazolo[1,5-a][1,3,5]triazine;

7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methyl-4-propoxypyrazolo[1,5-a][1,3,5]triazine; and

15 4-butoxy-7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazine;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

51. The compound of Claim 1 wherein R<sup>4</sup> is an amino group  
20 having attached thereto at least one chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>4</sub>)alkyl, a partially or fully saturated (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>1</sub>-C<sub>3</sub>)alkyl, aryl, heteroaryl, and a fully or partially saturated heterocycle, where said moiety is optionally substituted with one or more  
25 substituents and provided that R<sup>4</sup> is not *n*-butylamine or diethylamine when R<sup>1</sup> is phenyl, *o*-tolyl, or *p*-methoxyphenyl, and R<sup>2</sup> is phenyl or *m*-tolyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

30 52. The compound of Claim 51 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a phenyl substituted with 1 to 3 substituents independently

selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

5

53. The compound of Claim 52 wherein R<sup>1</sup> and R<sup>2</sup> are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

54. The compound of Claim 53 wherein R<sup>1</sup> is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R<sup>2</sup> is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20

55. The compound of Claim 54 selected from the group consisting of

butyl-[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-amine;

25 [7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-[2-(4-fluorophenyl)-ethyl]-amine;

[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-[2-morpholin-4-yl-ethyl]-amine;

30 [7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-[6-methoxypyridin-3-yl]-amine;

[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-(2,2,2-trifluoroethyl)-amine;

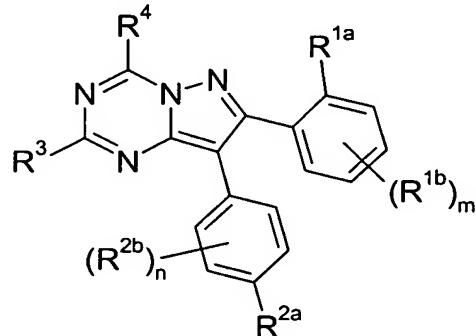
[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-methyl-(2,2,2-trifluoroethyl)-amine;

5 [7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-isopropylamine; and

[7-(2-chlorophenyl)-8-(4-chlorophenyl)-2-methylpyrazolo[1,5-a][1,3,5]triazin-4-yl]-(2,2-difluoropropyl)-amine;

10 a pharmaceutically acceptable salt thereof or a solvate or hydrate of  
said compound or said salt.

56. A compound of Formula (II)



(II)

15 wherein

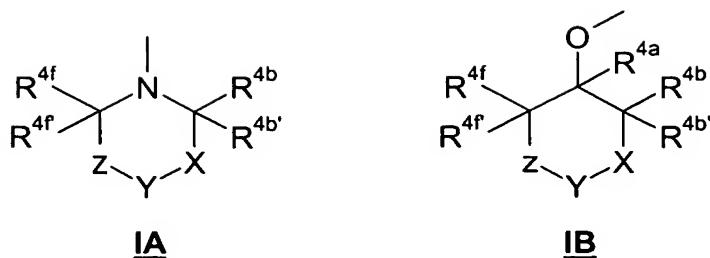
R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, and R<sup>2b</sup> are each independently halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, or cyano;

n and m are each independently 0, 1 or 2;

20 R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, or (C<sub>1</sub>-C<sub>4</sub>)alkoxy; and

R<sup>4</sup> is

(i) a group having Formula (IA) or Formula (IB)



where  $R^{4a}$  is hydrogen or ( $C_1-C_3$ )alkyl;

$R^{4b}$  and  $R^{4b'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of ( $C_1-C_6$ )alkyl, ( $C_1-C_6$ )alkoxy, acyloxy, acyl, ( $C_1-C_3$ )alkyl-O-C(O)-, ( $C_1-C_4$ )alkyl-NH-C(O)-,  $(C_1-C_4)alkyl_2N-C(O)-$ , ( $C_1-C_6$ )alkylamino-,  $((C_1-C_4)alkyl)_2amino-$ , ( $C_3-C_6$ )cycloalkylamino-, acylamino-, aryl( $C_1-C_4$ )alkylamino-, heteroaryl( $C_1-C_4$ )alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either  $R^{4b}$  or  $R^{4b'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge;

$X$  is a bond,  $-CH_2CH_2-$  or  $-C(R^{4c})(R^{4c'})-$ , where  $R^{4c}$  and  $R^{4c'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of ( $C_1-C_6$ )alkyl, ( $C_1-C_6$ )alkoxy, acyloxy, acyl, ( $C_1-C_3$ )alkyl-O-C(O)-, ( $C_1-C_4$ )alkyl-NH-C(O)-,  $((C_1-C_4)alkyl)_2N-C(O)-$ , ( $C_1-C_6$ )alkylamino-, di( $C_1-C_4$ )alkylamino-, ( $C_3-C_6$ )cycloalkylamino-, acylamino-, aryl( $C_1-C_4$ )alkylamino-, heteroaryl( $C_1-C_4$ )alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either  $R^{4c}$  or  $R^{4c'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge or an ethylene bridge;

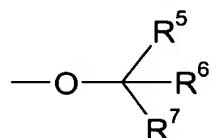
Y is oxygen, sulfur, -C(O)-, or -C(R<sup>4d</sup>)(R<sup>4d'</sup>)-, where R<sup>4d</sup> and R<sup>4d'</sup> are each independently hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R<sup>4d</sup> and R<sup>4d'</sup> taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where the carbocyclic ring, the heterocyclic ring, the lactone ring and the lactam ring are optionally substituted with one or more substituents and the lactone ring and the lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is -NR<sup>4d''</sup>-, where R<sup>4d''</sup> is a hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl-, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond, -CH<sub>2</sub>CH<sub>2</sub>-, or -C(R<sup>4e</sup>)(R<sup>4e'</sup>)-, where R<sup>4e</sup> and R<sup>4e'</sup> are each independently hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6

membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,  
 or either R<sup>4e</sup> or R<sup>4e'</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup>  
 5 forms a bond, a methylene bridge or an ethylene bridge; and  
 R<sup>4f</sup> and R<sup>4f'</sup> are each independently hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,  
 10 or either R<sup>4f</sup> or R<sup>4f'</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup>  
 forms a bond, a methylene bridge or an ethylene bridge;  
 15 (ii) a group having Formula (IC)

IC

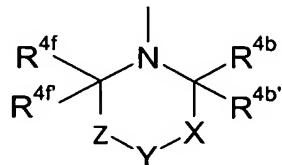
where R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and R<sup>7</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkyl-, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>4</sub>)alkoxy(C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>4</sub>)alkylamino(C<sub>1</sub>-C<sub>4</sub>)alkyl-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino(C<sub>1</sub>-C<sub>4</sub>)alkyl-, or a 4-6 membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,  
 25 or R<sup>5</sup> and R<sup>6</sup> or R<sup>7</sup> taken together form a 5-6 membered lactone, 4-6 membered lactam, or a 4-6 membered partially or fully

saturated heterocycle containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, where said lactone, said lactam and said heterocycle are optionally substituted with one or more substituents;

- 5                   (iii) an amino group having attached thereto at least one chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>4</sub>)alkyl, a partially or fully saturated (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>1</sub>-C<sub>3</sub>)alkyl, aryl, heteroaryl, and a fully or partially saturated heterocycle, where the moiety is optionally substituted with one or more substituents; or
- 10                  (iv) an (C<sub>1</sub>-C<sub>6</sub>)alkyl or (C<sub>1</sub>-C<sub>6</sub>)alkenyl group having attached thereto at least one chemical moiety selected from the group consisting of hydroxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfamyl, di((C<sub>1</sub>-C<sub>3</sub>)alkyl)sulfamyl, acyloxy, a partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said chemical moiety is optionally substituted with one or more substituents;

15 a pharmaceutically acceptable salt thereof, a prodrug of said compound or  
20 said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

57. The compound of Claim 56 wherein R<sup>4</sup> is a group of Formula (IA);



**IA**

25 where,

R<sup>4b</sup> and R<sup>4b'</sup> are each independently hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-

$C_3$ )alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $(C_1-C_4)$ alkyl $_2$ N-C(O)-, aryl, heteroaryl, a partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

5 or  $R^{4b}$  or  $R^{4b'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, - $CH_2CH_2$ - or - $C(R^{4c})(R^{4c'})$ -, where  $R^{4c}$  is hydrogen, cyano, hydroxy, amino,  $H_2NC(O)$ -, or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, acyloxy, acyl,  $(C_1-C_3)$ alkyl-O-

10  $C(O)$ -,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $(C_1-C_4)$ alkyl $_2$ N-C(O)-,  $(C_1-C_6)$ alkylamino-,  $((C_1-C_4)$ alkyl) $_2$ amino-,  $(C_3-C_6)$ cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-

$C_4)$ alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with

15 one or more substituents,

or  $R^{4c}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge, and

20  $R^{4c'}$  is hydrogen,  $H_2NC(O)$ -, or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl, acyl,  $(C_1-C_3)$ alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH- $C(O)$ -,  $(C_1-C_4)$ alkyl $_2$ N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or  $R^{4c'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge;

25 Y is oxygen, sulfur, -C(O)-, or - $C(R^{4d})(R^{4d'})$ -, where  $R^{4d}$  is hydrogen, cyano, hydroxy, amino,  $H_2NC(O)$ -, or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, acyloxy, acyl,  $(C_1-C_3)$ alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $(C_1-C_4)$ alkyl $_2$ N-C(O)-,  $(C_1-C_6)$ alkylamino-,  $((C_1-C_4)$ alkyl) $_2$ amino-,  $(C_3-C_6)$ cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-

30  $C_4)$ alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully

saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, and

R<sup>4d'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R<sup>4d</sup> and R<sup>4d'</sup> taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is -NR<sup>4d''</sup>-, where R<sup>4d''</sup> is a hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl-, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond, -CH<sub>2</sub>CH<sub>2</sub>-, or -C(R<sup>4e</sup>)(R<sup>4e'</sup>)-, where R<sup>4e</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R<sup>4e</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup> forms a bond, a methylene bridge, or an ethylene bridge, and

- $R^{4e'}$  is hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ , acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $(C_1-C_4)alkyl)_2N-C(O)-$ , aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring,
- 5 where said moiety is optionally substituted with one or more substituents, or  $R^{4e'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge, or an ethylene bridge; and
- $R^{4f}$  and  $R^{4f'}$  are each independently hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ , acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $(C_1-C_4)alkyl)_2N-C(O)-$ , aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,
- 10 or  $R^{4f}$  or  $R^{4f'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge, or an ethylene bridge;
- 15 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

58. The compound of Claim of 57 wherein
- 20  $R^{4b}$  is hydrogen, an optionally substituted  $(C_1-C_3)alkyl$ , or taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge;
- 25  $R^{4b'}$  is hydrogen, an optionally substituted  $(C_1-C_3)alkyl$ , or taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge;
- $R^{4f}$  is hydrogen, an optionally substituted  $(C_1-C_3)alkyl$ , or taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge, or an ethylene bridge; and
- 30  $R^{4f'}$  is hydrogen, an optionally substituted  $(C_1-C_3)alkyl$ , or taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

59. The compound of Claim 58 wherein

5 X is  $-C(R^{4c})(R^{4c'})-$ , where  $R^{4c}$  and  $R^{4c'}$  are each independently hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from  $(C_1-C_6)alkyl$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ , or  $((C_1-C_4)alkyl)_2N-C(O)-$ , where said moiety is optionally substituted with one or more substituents,

10 or either  $R^{4c}$  or  $R^{4c'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge or an ethylene bridge;

Y is  $-NR^{4d''}-$ ,  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ ,  $(C_3-C_6)cycloalkyl$ ,  $(C_1-C_3)alkylsulfonyl$ ,  $(C_1-C_3)alkylaminosulfonyl$ ,  $di(C_1-C_3)alkylaminosulfonyl$ , acyl,  $(C_1-C_6)alkyl-O-C(O)-$ , aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

15 Z is  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  and  $R^{4e'}$  are each independently hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from  $(C_1-C_6)alkyl$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ , or  $((C_1-C_4)alkyl)_2N-C(O)-$ , where said moiety is optionally substituted with one or more substituents,

20 or either  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25 60. The compound of Claim 59 wherein  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of  $(C_1-C_3)alkylsulfonyl$ ,  $(C_1-C_3)alkylaminosulfonyl$ ,  $di(C_1-C_3)alkylaminosulfonyl$ , acyl,  $(C_1-C_6)alkyl-O-C(O)-$ , and heteroaryl, where said moiety is optionally substituted with one or more substituents;

30 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

61. The compound of Claim 60 wherein R<sup>4d</sup>" is a hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl, acyl, and (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, where said moiety is optionally substituted with 1-3 fluorines,

5 or R<sup>4d</sup>" is a heteroaryl, where said heteroaryl is optionally substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>3</sub>)alkoxy, (C<sub>1</sub>-C<sub>3</sub>)alkyl, and fluoro-substituted (C<sub>1</sub>-C<sub>3</sub>)alkyl;  
10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

62. The compound of Claim 59, 60, or 61 wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup> and R<sup>2b</sup> are each independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;  
15 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

63. The compound of Claim 62 wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup> and R<sup>2b</sup> are each independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano; and  
20 n and m are each independently 0 or 1;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25  
64. The compound of Claim 58 wherein Y is -C(R<sup>4d</sup>)(R<sup>4d'</sup>)-, where R<sup>4d</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-,  
30 (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl,

heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

- R<sup>4d'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,  
or R<sup>4d</sup> and R<sup>4d'</sup> taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

65. The compound of Claim 64 wherein  
R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4f</sup>, and R<sup>4f'</sup> are all hydrogen;  
R<sup>4d</sup> is amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino, acylamino, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-; and  
R<sup>4d'</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, H<sub>2</sub>NC(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, or ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, or aryl;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

66. The compound of Claim 65 wherein  
X is a bond or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each hydrogen;  
and

Z is a bond or -C(R<sup>4e</sup>)(R<sup>4e'</sup>)-, where R<sup>4e</sup> and R<sup>4e'</sup> are each hydrogen; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

5        67. The compound of Claim 66 wherein R<sup>4d</sup> is amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino; and R<sup>4d'</sup> is H<sub>2</sub>NC(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, or ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10

68. The compound of Claim 64, 65, 66 or 67 wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, and R<sup>2b</sup> are each independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15        69. The compound of Claim 68 wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, and R<sup>2b</sup> are each independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano; and

20

n and m are each independently selected from 0 or 1; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25

70. The compound of Claim 64 wherein R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4f</sup>, and R<sup>4f'</sup> are all hydrogen; R<sup>4d</sup> is hydrogen, hydroxy, amino, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, and di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, where said moiety is optionally substituted with one or more substituents; and

$R^{4d'}$  is hydrogen, or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, aryl and heteroaryl, where said moiety is optionally substituted with one or more substituents;

- 5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of  
said compound or said salt.

71. The compound of Claim 70 wherein

X is a bond or  $-C(R^{4c})(R^{4c'})-$ , where  $R^{4c}$  and  $R^{4c'}$  are each independently hydrogen or an optionally substituted ( $C_1$ - $C_6$ )alkyl, or either 10  $R^{4c}$  or  $R^{4c'}$  taken together with  $R^{4e}$  or  $R^{4e'}$  forms a bond, a methylene bridge or an ethylene bridge; and

Z is a bond or  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  and  $R^{4e'}$  are each independently hydrogen or an optionally substituted ( $C_1$ - $C_6$ )alkyl, or either 15  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4c}$  or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

72. The compound of Claim 71 wherein

20  $R^{4c}$  and  $R^{4c'}$  are each hydrogen or either  $R^{4c}$  or  $R^{4c'}$  taken together with  $R^{4e}$  or  $R^{4e'}$  forms a bond;

$R^{4d}$  is hydrogen, hydroxy, amino, or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkoxy, acyl, ( $C_1$ - $C_6$ )alkylamino-, and di( $C_1$ - $C_4$ )alkylamino-;

25  $R^{4d'}$  is hydrogen, or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl and aryl, where said moiety is optionally substituted with one or more substituents; and

$R^{4e}$  and  $R^{4e'}$  are hydrogen or either  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4c}$  or  $R^{4c'}$  forms a bond;

30 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

73. The compound of Claim 70, 71, or 72 wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, and R<sup>2b</sup> are each independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

74. The compound of Claim 73 wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, and R<sup>2b</sup> are each independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano; and

10 n and m are each independently 0 or 1;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15 75. The compound of Claim 64 wherein  
R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4f</sup>, and R<sup>4f'</sup> are all hydrogen; and  
R<sup>4d</sup> and R<sup>4d'</sup> taken together form a 3-6 membered partially or fully  
saturated carbocyclic ring, a 3-6 membered partially or fully saturated  
heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam  
20 ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and  
said lactam ring are optionally substituted with one or more substituents and  
said lactone ring or said lactam ring optionally contains an additional  
heteroatom selected from oxygen, nitrogen or sulfur;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of  
25 said compound or said salt.

76. The compound of Claim 75 wherein  
X is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each  
independently hydrogen or an optionally substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl, or either  
30 R<sup>4c</sup> or R<sup>4c'</sup> taken together with R<sup>4e</sup> or R<sup>4e'</sup> forms a bond, a methylene bridge  
or an ethylene bridge; and

Z is a bond,  $-\text{CH}_2\text{CH}_2-$  or  $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$ , where  $\text{R}^{4e}$  and  $\text{R}^{4e'}$  are each independently hydrogen or an optionally substituted ( $\text{C}_1\text{-}\text{C}_6$ )alkyl, or either  $\text{R}^{4e}$  or  $\text{R}^{4e'}$  taken together with  $\text{R}^{4c}$  or  $\text{R}^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

77. The compound of Claim 76 wherein  $\text{R}^{4d}$  and  $\text{R}^{4d'}$  taken together form a 5-6 membered lactam ring, where said lactam ring is 10 optionally substituted with one or more substituents and optionally contains an additional heteroatom selected from nitrogen or oxygen;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15 78. The compound of Claim 77 wherein  
X is a bond or  $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$ , where  $\text{R}^{4c}$  and  $\text{R}^{4c'}$  are each hydrogen; and

20 Z is a bond or  $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$ , where  $\text{R}^{4e}$  and  $\text{R}^{4e'}$  are each hydrogen;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

79. The compound of Claim 75, 76, 77 or 78 wherein  $\text{R}^{1a}$ ,  $\text{R}^{1b}$ ,  $\text{R}^{2a}$ , and  $\text{R}^{2b}$  are each independently selected from the group consisting of halo, ( $\text{C}_1\text{-}\text{C}_4$ )alkoxy, ( $\text{C}_1\text{-}\text{C}_4$ )alkyl, halo-substituted ( $\text{C}_1\text{-}\text{C}_4$ )alkyl, and cyano;

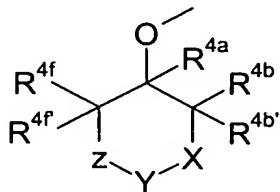
25 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

80. The compound of Claim 79 wherein  $\text{R}^{1a}$ ,  $\text{R}^{1b}$ ,  $\text{R}^{2a}$ , and  $\text{R}^{2b}$  are each independently selected from the group consisting of chloro, fluoro, ( $\text{C}_1\text{-}\text{C}_4$ )alkoxy, ( $\text{C}_1\text{-}\text{C}_4$ )alkyl, fluoro-substituted ( $\text{C}_1\text{-}\text{C}_4$ )alkyl, and cyano;

30 n and m are each independently 0 or 1;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

81. The compound of Claim 56 wherein R<sup>4</sup> is a group of Formula  
5 (IB);



**IB**

where R<sup>4a</sup> is as defined in Claim 59;

R<sup>4b</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy,  
10 acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,  
15

R<sup>4b'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring,  
20 where said moiety is optionally substituted with one or more substituents,

or R<sup>4b</sup> or R<sup>4b'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-

$C_4$ )alkylamino-, heteroaryl( $C_1$ - $C_4$ )alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

5       or  $R^{4c}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge, and

$R^{4c'}$  is hydrogen,  $H_2NC(O)$ -, or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-, ( $C_1$ - $C_4$ )alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or

10     fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, or  $R^{4c'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge;

$Y$  is oxygen, sulfur, -C(O)-, or -C( $R^{4d}$ )( $R^{4d'}$ )-, where  $R^{4d}$  is hydrogen, cyano, hydroxy, amino,  $H_2NC(O)$ -, or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_1$ - $C_6$ )alkoxy, acyloxy, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-, ( $C_1$ - $C_4$ )alkyl)<sub>2</sub>N-C(O)-, ( $C_1$ - $C_6$ )alkylamino-, (( $C_1$ - $C_4$ )alkyl)<sub>2</sub>amino-, ( $C_3$ - $C_6$ )cycloalkylamino-, acylamino-, aryl( $C_1$ - $C_4$ )alkylamino-, heteroaryl( $C_1$ - $C_4$ )alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, and

$R^{4d'}$  is hydrogen,  $H_2NC(O)$ -, or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-, ( $C_1$ - $C_4$ )alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

      or  $R^{4d}$  and  $R^{4d'}$  taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and

said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

Y is  $-NR^{4d''}$ , where  $R^{4d''}$  is a hydrogen or a chemical moiety selected  
5 from the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_3$ - $C_6$ )cycloalkyl, ( $C_1$ -  
 $C_3$ )alkylsulfonyl-, ( $C_1$ - $C_3$ )alkylaminosulfonyl-, di( $C_1$ - $C_3$ )alkylaminosulfonyl-,  
acyl, ( $C_1$ - $C_6$ )alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is  
optionally substituted with one or more substituents;

Z is a bond,  $-CH_2CH_2-$ , or  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  is hydrogen,  
10 cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the  
group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_1$ - $C_6$ )alkoxy, acyloxy, acyl, ( $C_1$ - $C_3$ )alkyl-O-  
C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-, ( $C_1$ - $C_4$ )alkyl)<sub>2</sub>N-C(O)-, ( $C_1$ - $C_6$ )alkylamino-,  
(( $C_1$ - $C_4$ )alkyl)<sub>2</sub>amino-, ( $C_3$ - $C_6$ )cycloalkylamino-, acylamino-, aryl( $C_1$ -  
 $C_4$ )alkylamino-, heteroaryl( $C_1$ - $C_4$ )alkylamino-, aryl, heteroaryl, a 3-6  
15 membered partially or fully saturated heterocycle, and a partially or fully  
saturated carbocyclic ring, where said moiety is optionally substituted with  
one or more substituents,

or  $R^{4e}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a  
methylene bridge, or an ethylene bridge, and

20  $R^{4e'}$  is hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from the group  
consisting of ( $C_1$ - $C_6$ )alkyl, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-,  
( $C_1$ - $C_4$ )alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully  
saturated heterocycle, and a partially or fully saturated carbocyclic ring,  
where said moiety is optionally substituted with one or more substituents,

25 or  $R^{4e'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a  
methylene bridge, or an ethylene bridge;

30  $R^{4f}$  is hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical  
moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_1$ - $C_6$ )alkoxy,  
acyloxy, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-, ( $C_1$ - $C_4$ )alkyl)<sub>2</sub>N-  
C(O)-, ( $C_1$ - $C_6$ )alkylamino-, (( $C_1$ - $C_4$ )alkyl)<sub>2</sub>amino-, ( $C_3$ - $C_6$ )cycloalkylamino-,  
acylamino-, aryl( $C_1$ - $C_4$ )alkylamino-, heteroaryl( $C_1$ - $C_4$ )alkylamino-, aryl,

heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents; and

- R<sup>4f</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,  
or R<sup>4f</sup> or R<sup>4f</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup> forms a bond, a  
methylene bridge, or an ethylene bridge;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of  
said compound or said salt.

82. The compound of Claim 81 wherein  
R<sup>4a</sup>, R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4f</sup> and R<sup>4f</sup> are each hydrogen;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of  
said compound or said salt.

83. The compound of Claim 82 wherein  
X is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>6</sub>)alkyl;  
Y is -NR<sup>4d''</sup>-, where R<sup>4d''</sup> is hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl-, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-,  
acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;  
Z is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>6</sub>)alkyl;  
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of  
said compound or said salt.

84. The compound of Claim 82 or 83 wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup> and R<sup>2b</sup> are each independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

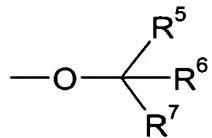
5 said compound or said salt.

85. The compound of Claim 84 wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup> and R<sup>2b</sup> are each independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano; and

10 n and m are each independently 0 or 1;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

86. The compound of Claim 56 wherein R<sup>4</sup> is a group having  
15 Formula (IC)



**IC**

where R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and R<sup>7</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkyl-, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>4</sub>)alkoxy(C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>4</sub>)alkylamino(C<sub>1</sub>-C<sub>4</sub>)alkyl-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino(C<sub>1</sub>-C<sub>4</sub>)alkyl-, or a 4-6

20 membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, or  
R<sup>5</sup> and R<sup>6</sup>, or R<sup>5</sup> and R<sup>7</sup> taken together form a 5-6 membered lactone,

4-6 membered lactam, or a 4-6 membered partially or fully saturated

25 heterocycle containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, where said lactone, said lactam and said heterocycle are optionally substituted with one or more substituents;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

87. The compound of Claim 86 wherein n and m are each independently 1 or 0;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

88. The compound of Claim 87 wherein R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and R<sup>7</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkyl;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

89. The compound of Claim 87 or 88 wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, and R<sup>2b</sup> are each independently chloro, fluoro or trifluoromethyl;

15 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

90. The compound of Claim 56 wherein R<sup>4</sup> is an amino group having attached thereto at least one chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>4</sub>)alkyl, a 3-8 membered partially or 20 fully saturated carbocyclic ring, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>1</sub>-C<sub>3</sub>)alkyl, aryl, heteroaryl, and a partially or fully saturated heterocycle, where said chemical moiety is optionally substituted with one or more substituents;

25 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

91. The compound of Claim 90 wherein n and m are each independently 1 or 0;

30 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

92. The compound of Claim 90 or 91 wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, and R<sup>2b</sup> are each independently chloro, fluoro or trifluoromethyl; a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

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93. The compound of Claim 56 wherein R<sup>4</sup> is an (C<sub>1</sub>-C<sub>6</sub>)alkyl or (C<sub>1</sub>-C<sub>6</sub>)alkenyl group having attached thereto at least one chemical moiety selected from the group consisting of hydroxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfamyl, di((C<sub>1</sub>-C<sub>3</sub>)alkyl)sulfamyl, acyloxy, a partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said chemical moiety is optionally substituted with one or more substituents;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

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94. The compound of Claim 93 wherein n and m are each independently 1 or 0;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of

said compound or said salt.

95. The compound of Claim 93 or 94 wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, and R<sup>2b</sup> are each independently chloro, fluoro or trifluoromethyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

96. The compound of Claim 95 which is

7-(2-chlorophenyl)-8-(4-chlorophenyl)-4-(1-ethoxyvinyl)-2-methylpyrazolo[1,5-a][1,3,5]triazine;

30

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

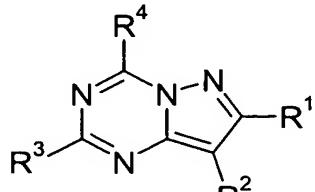
97. A pharmaceutical composition comprising (1) a compound of  
Claim 1, a pharmaceutically acceptable salt thereof, or a solvate or hydrate  
of said compound, or said salt; and (2) a pharmaceutically acceptable  
5 excipient, diluent, or carrier.

98. The composition of Claim 97 further comprising at least one  
additional pharmaceutical agent.

10 99. The composition of Claim 98 said additional pharmaceutical  
agent is a nicotine receptor partial agonist, an opioid antagonist, a  
dopaminergic agent, an attention deficit disorder agent, or an anti-obesity  
agent.

15 100. The composition of Claim 99 wherein said anti-obesity agent is  
selected from the group consisting of an apo-B/MTP inhibitor, a 11 $\beta$ -hydroxy  
steroid dehydrogenase-1 inhibitor, peptide YY<sub>3-36</sub> or an analog thereof, a  
MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a  
sympathomimetic agent, a  $\beta_3$  adrenergic receptor agonist, a dopamine  
20 agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT2c  
receptor agonist, a melanin concentrating hormone antagonist, leptin, a  
leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase  
inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a  
thyromimetic agent, dehydroepiandrosterone or analog thereof, a  
25 glucocorticoid receptor antagonist, an orexin receptor antagonist, a  
glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a  
human agouti-related protein antagonist, a ghrelin receptor antagonist, a  
histamine 3 receptor antagonist or inverse agonist, and a neuromedin U  
receptor agonist.

101. A method for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist in animals comprising the step of administering to an animal in need of such treatment a therapeutically effective amount of a compound of Formula (III);



5

(III)

wherein

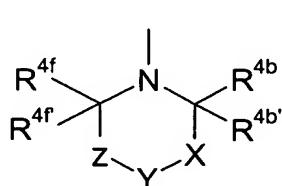
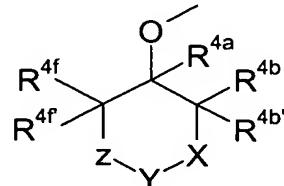
$R^1$  is an optionally substituted aryl or an optionally substituted heteroaryl;

10  $R^2$  is an optionally substituted aryl or an optionally substituted heteroaryl;

$R^3$  is hydrogen, ( $C_1$ - $C_4$ )alkyl, halo-substituted ( $C_1$ - $C_4$ )alkyl, or ( $C_1$ - $C_4$ )alkoxy;

$R^4$  is

15 (i) a group having Formula (IA) or Formula (IB)

IAIB

where  $R^{4a}$  is hydrogen or ( $C_1$ - $C_3$ )alkyl;

20  $R^{4b}$  and  $R^{4b'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_1$ - $C_6$ )alkoxy, acyloxy, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-, ( $C_1$ - $C_4$ )alkyl<sub>2</sub>N-C(O)-, ( $C_1$ - $C_6$ )alkylamino-, (( $C_1$ - $C_4$ )alkyl)<sub>2</sub>amino-, ( $C_3$ - $C_6$ )cycloalkylamino-, acylamino-, aryl( $C_1$ - $C_4$ )alkylamino-, heteroaryl( $C_1$ -

C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

5 or either R<sup>4b</sup> or R<sup>4b'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each independently hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-

10 C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

15 or either R<sup>4c</sup> or R<sup>4c'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge or an ethylene bridge;

Y is oxygen, sulfur, -C(O)-, or -C(R<sup>4d</sup>)(R<sup>4d'</sup>)-, where R<sup>4d</sup> and R<sup>4d'</sup> are each independently hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring,

25 where said moiety is optionally substituted with one or more substituents,

or R<sup>4d</sup> and R<sup>4d'</sup> taken together form a partially or fully saturated 3-6 membered heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is  $-NR^{4d''}-$ , where  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_3$ - $C_6$ )cycloalkyl, ( $C_1$ - $C_3$ )alkylsulfonyl-, ( $C_1$ - $C_3$ )alkylaminosulfonyl-, di( $C_1$ - $C_3$ )alkylaminosulfonyl-, acyl, ( $C_1$ - $C_6$ )alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is

5 optionally substituted with one or more substituents;

Z is a bond,  $-CH_2CH_2-$ , or  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  and  $R^{4e'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_1$ - $C_6$ )alkoxy, acyloxy, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-,  $((C_1-C_4)alkyl)_2N-C(O)-$ ,

10 ( $C_1$ - $C_6$ )alkylamino-, di( $C_1$ - $C_4$ )alkylamino-, ( $C_3$ - $C_6$ )cycloalkylamino-, acylamino-, aryl( $C_1$ - $C_4$ )alkylamino-, heteroaryl( $C_1$ - $C_4$ )alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

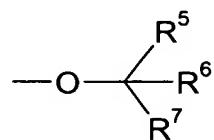
15 or either  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge; and

$R^{4f}$  and  $R^{4f'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_1$ - $C_6$ )alkoxy, acyloxy, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-,  $((C_1-C_4)alkyl)_2N-C(O)-$ ,

20 ( $C_1$ - $C_6$ )alkylamino-, di( $C_1$ - $C_4$ )alkylamino-, ( $C_3$ - $C_6$ )cycloalkylamino-, acylamino-, aryl( $C_1$ - $C_4$ )alkylamino-, heteroaryl( $C_1$ - $C_4$ )alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

25 or either  $R^{4f}$  or  $R^{4f'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge; or

(ii) a group having Formula (IC)



IC

where R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and R<sup>7</sup> is an optionally substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl or an optionally substituted 4-6 membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,

5 or R<sup>5</sup> and R<sup>6</sup> or R<sup>5</sup> and R<sup>7</sup> taken together form a 5-6 membered lactone, 4-6 membered lactam, or a 4-6 membered partially or fully saturated heterocycle containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, where said lactone, said lactam and said

10 heterocycle are optionally substituted with one or more substituents;

(iii) an amino group having attached thereto at least one chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>4</sub>)alkyl, a partially or fully saturated (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>1</sub>-C<sub>3</sub>)alkyl, aryl, heteroaryl, and a fully or

15 partially saturated heterocycle, where said moiety is optionally substituted with one or more substituents; or

(iv) an (C<sub>1</sub>-C<sub>6</sub>)alkyl or (C<sub>1</sub>-C<sub>6</sub>)alkenyl group having attached thereto at least one chemical moiety selected from the group consisting of hydroxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfamyl, di((C<sub>1</sub>-C<sub>3</sub>)alkyl)sulfamyl, acyloxy, a partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said chemical moiety is optionally substituted with one or more substituents;

20 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

102. The method of Claim 101 wherein said compound is a compound of Claim 1, a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound, or said salt.

103. The method of Claim 101 wherein said compound is administered in combination with a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

5

104. The method of Claim 102 wherein said compound is administered in combination with a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

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105. The method of Claim 103 or 104 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11 $\beta$ -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY<sub>3-36</sub> or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a  $\beta_3$  adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT2c receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

20

25 106. The method of Claim 101, 102, 103 or 104 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is selected from the group consisting of weight loss, obesity, bulimia, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward-related

behaviors, alcoholism, tobacco abuse, dementia, seizure disorders, epilepsy, attention deficit disorder, Parkinson's disease, inflammation, gastrointestinal disorders, and type II diabetes.

5        107. The method of Claim 106 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is obesity, bulimia, attention deficit disorder, Parkinson's disease, dementia, alcoholism, or tobacco abuse.

10        108. A method for treating a disease, condition or disorder modulated by a cannabinoid receptor antagonist comprising the step of administering a pharmaceutical composition of Claim 97.

15        109. The method of Claim 108 wherein said pharmaceutical composition further comprises an additional pharmaceutical agent.

20        110. The method of Claim 109 wherein said additional pharmaceutical agent is a nicotine partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

25        111. The method of Claim 110 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11 $\beta$ -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY<sub>3-36</sub> or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a  $\beta_3$  adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT2c receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a

glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U 5 receptor agonist.

112. The method of Claim 108, 109, 110 or 111 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is obesity, bulimia, attention deficit disorder, Parkinson's disease, 10 dementia, alcoholism, or tobacco abuse.

113. A method for treating a disease, condition or disorder modulated by a cannabinoid receptor antagonist in animals comprising the step of administering to an animal in need of such treatment two separate 15 pharmaceutical compositions comprising

- (i) a first composition comprising a compound of Claim 1 and a pharmaceutically acceptable excipient, diluent, or carrier, and
  - (ii) a second composition comprising at least one additional pharmaceutical agent and a pharmaceutically acceptable excipient, diluent, or carrier.
- 20

114. The method of Claim 113 wherein said at least one additional pharmaceutical agent is a nicotine partial agonist, an opioid antagonist, a 25 dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

115. The method of Claim 114 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11 $\beta$ -hydroxy 30 steroid dehydrogenase-1 inhibitor, peptide YY<sub>3-36</sub> or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a

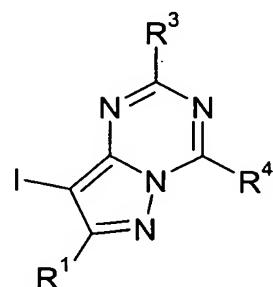
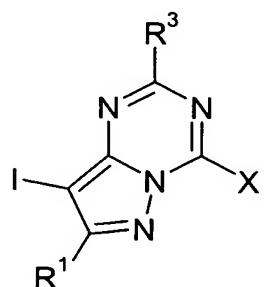
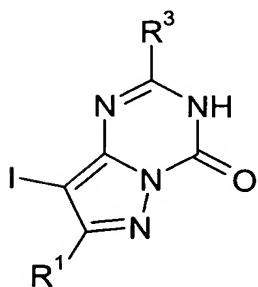
sympathomimetic agent, a  $\beta_3$  adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT<sub>2c</sub> receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

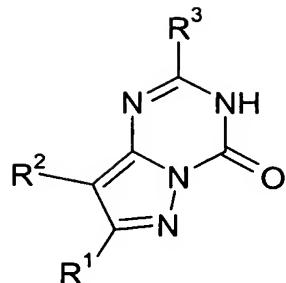
116. The method of Claim 114 or 115 wherein said first composition and said second composition are administered simultaneously.

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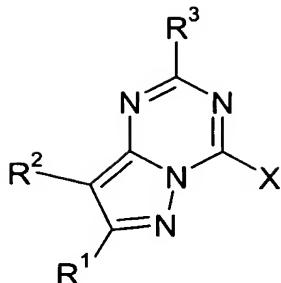
117. The method of Claim 114 or 115 wherein said first composition and said second composition are administered sequentially and in any order.

20





(2a)



(2b)

wherein

X is a leaving group;

5 R<sup>1</sup> is an optionally substituted aryl or an optionally substituted heteroaryl;

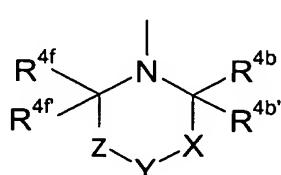
$R^2$  is an optionally substituted aryl or an optionally substituted heteroaryl;

$R^3$  is hydrogen, ( $C_1$ - $C_4$ )alkyl, halo-substituted ( $C_1$ - $C_4$ )alkyl, or ( $C_1$ - $C_4$ )alkoxy;

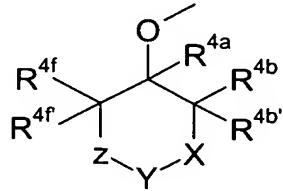
10 and

$\mathbb{R}^4$  is

(i) a group having Formula (IA) or Formula (IB)



IA



IB

15 where R<sup>4a</sup> is hydrogen or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

$R^{4b}$  and  $R^{4b'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkoxy$ , acyloxy, acyl,  $(C_1-C_3)alkyl-O-C(O)-$ ,  $(C_1-C_4)alkyl-NH-C(O)-$ ,  $(C_1-C_4)alkyl_2N-C(O)-$ ,  $(C_1-C_6)alkylamino-$ ,  $((C_1-C_4)alkyl)_2amino-$ ,  $(C_3-C_6)cycloalkylamino-$ , acylamino-, aryl( $C_1-C_4$ )alkylamino-, heteroaryl( $C_1-C_4$ )alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated

heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either R<sup>4b</sup> or R<sup>4b'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

5 X is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each independently hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl,

10 heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either R<sup>4c</sup> or R<sup>4c'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge or an ethylene bridge;

Y is oxygen, sulfur, -C(O)-, or -C(R<sup>4d</sup>)(R<sup>4d'</sup>)-, where R<sup>4d</sup> and R<sup>4d'</sup> are each independently hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring,

where said moiety is optionally substituted with one or more substituents,

25 or R<sup>4d</sup> and R<sup>4d'</sup> taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and

30 said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is  $-NR^{4d''}$ , where  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_3$ - $C_6$ )cycloalkyl, ( $C_1$ - $C_3$ )alkylsulfonyl-, ( $C_1$ - $C_3$ )alkylaminosulfonyl-, di( $C_1$ - $C_3$ )alkylaminosulfonyl-, acyl, ( $C_1$ - $C_6$ )alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is

5 optionally substituted with one or more substituents;

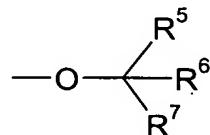
Z is a bond,  $-CH_2CH_2-$ , or  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  and  $R^{4e'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_1$ - $C_6$ )alkoxy, acyloxy, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-,  $((C_1-C_4)alkyl)_2N-C(O)-$ , ( $C_1$ - $C_6$ )alkylamino-, di( $C_1$ - $C_4$ )alkylamino-, ( $C_3$ - $C_6$ )cycloalkylamino-, acylamino-, aryl( $C_1$ - $C_4$ )alkylamino-, heteroaryl( $C_1$ - $C_4$ )alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

10 15 or either  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge; and

$R^{4f}$  and  $R^{4f'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of ( $C_1$ - $C_6$ )alkyl, ( $C_1$ - $C_6$ )alkoxy, acyloxy, acyl, ( $C_1$ - $C_3$ )alkyl-O-C(O)-, ( $C_1$ - $C_4$ )alkyl-NH-C(O)-,  $((C_1-C_4)alkyl)_2N-C(O)-$ , ( $C_1$ - $C_6$ )alkylamino-, di( $C_1$ - $C_4$ )alkylamino-, ( $C_3$ - $C_6$ )cycloalkylamino-, acylamino-, aryl( $C_1$ - $C_4$ )alkylamino-, heteroaryl( $C_1$ - $C_4$ )alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

20 25 or either  $R^{4f}$  or  $R^{4f'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge;

(ii) a group having Formula (IC)



IC

where R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and R<sup>7</sup> is an optionally substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, or an optionally substituted 4-6 membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,

5           or R<sup>5</sup> and R<sup>6</sup> or R<sup>5</sup> and R<sup>7</sup> taken together form a 5-6 membered lactone, 4-6 membered lactam, or a 4-6 membered partially or fully saturated heterocycle containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, where said lactone, said lactam and said

10          heterocycle are optionally substituted with one or more substituents;

             (iii) an amino group having attached thereto at least one chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>4</sub>)alkyl, a partially or fully saturated (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>1</sub>-C<sub>3</sub>)alkyl, aryl, heteroaryl, and a fully or

15          partially saturated heterocycle, where said moiety is optionally substituted with one or more substituents; or

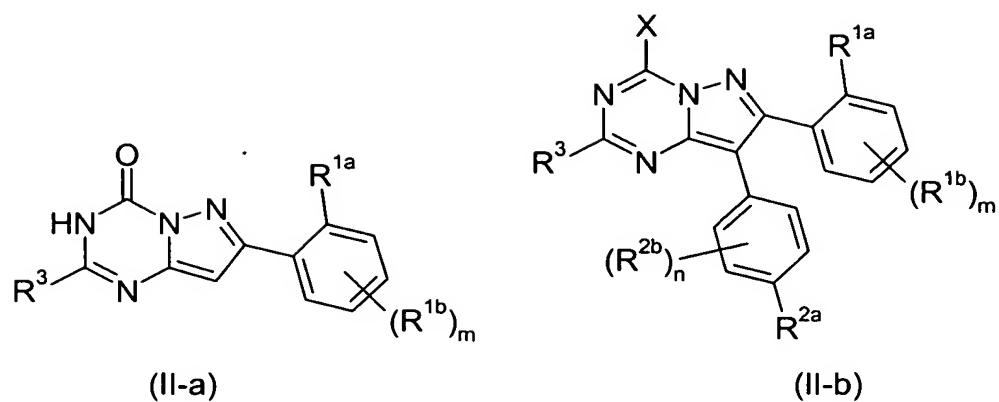
             (iv) an (C<sub>1</sub>-C<sub>6</sub>)alkyl or (C<sub>1</sub>-C<sub>6</sub>)alkenyl group having attached thereto at least one chemical moiety selected from the group consisting of hydroxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfamyl, di((C<sub>1</sub>-C<sub>3</sub>)alkyl)sulfamyl, acyloxy, a

20          partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said chemical moiety is optionally substituted with one or more substituents;

              a pharmaceutically acceptable salt thereof, or a solvate or hydrate of

25          said compound or said salt.

119. A compound of Formula (II-a) or (II-b)



wherein

X is a leaving group;

5            R<sup>1a</sup>, R<sup>1b</sup>, R<sup>2a</sup>, and R<sup>2b</sup> are each independently halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, or cyano;  
n and m are each independently 0, 1 or 2; and  
R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, or (C<sub>1</sub>-C<sub>4</sub>)alkoxy.

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